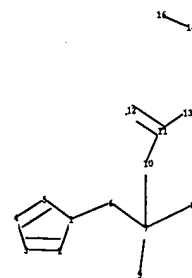
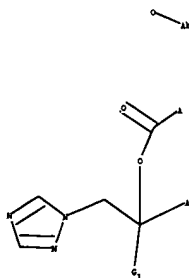


Uploading C:\Program Files\Stnexp\Queries\10647023b.str



chain nodes :

6 7 8 9 10 11 12 13 14 16

ring nodes :

1 2 3 4 5

chain bonds :

1-6 6-7 7-8 7-9 7-10 10-11 11-12 11-13 14-16

ring bonds :

1-2 1-5 2-3 3-4 4-5

exact/norm bonds :

1-2 1-5 1-6 2-3 3-4 4-5 7-8 7-9 7-10 10-11 11-12 11-13 14-16

exact bonds :

6-7

G1:Cb,Cy,Hy

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:Atom 10:CLASS
11:CLASS 12:CLASS 13:Atom 14:CLASS 16:CLASS

L7

STRUCTURE UPLOADED

=> s 17 full

REGISTRY INITIATED

Substance data SEARCH and crossover from CAS REGISTRY in progress...

Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

FULL SEARCH INITIATED 23:57:41 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 1643 TO ITERATE

100.0% PROCESSED 1643 ITERATIONS

215 ANSWERS

SEARCH TIME: 00.00.01

L8 215 SEA SSS FUL L7

L9 15 L8

=> d 19 1-15 ibib abs

L9 ANSWER 1 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:1048691 CAPLUS <<LOGINID::20060926>>

DOCUMENT NUMBER: 143:326371

TITLE: Pharmaceuticals and antifungal agents containing water-soluble triazoles

INVENTOR(S): Uchida, Takuya; Mikojima, Yoshiko; Konoso, Toshiyuki; Shibayama, Takahiro

PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 270 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

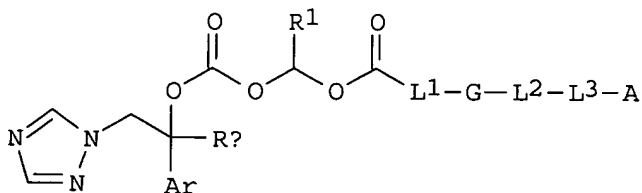
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2005263636	A2	20050929	JP 2004-73994	20040316
PRIORITY APPLN. INFO.:			JP 2004-73994	20040316
OTHER SOURCE(S):	MARPAT	143:326371		

GI



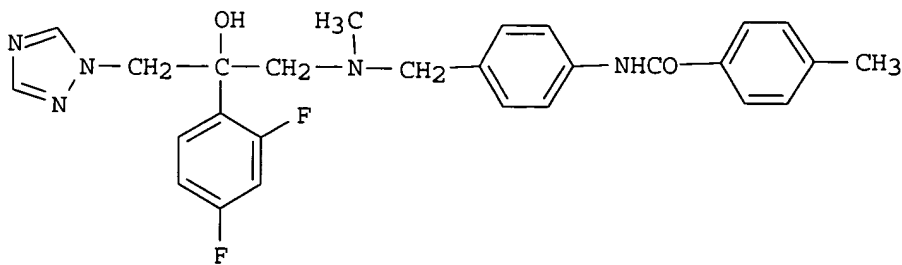
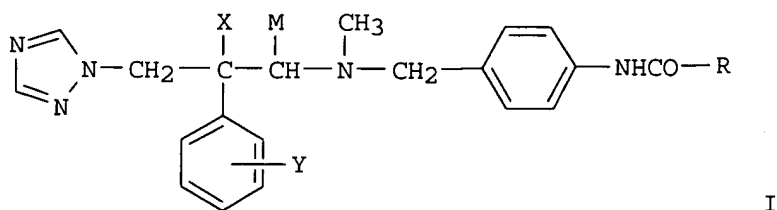
I

AB Triazoles I [L1 = C3-7 cycloalkyl, C1-6 alkylene, C2-6 alkenylene; G = (double) bond, O, NHCO, NMeCO, CONH, etc.; L2 = (double) bond, C3-7 cycloalkyl, 4- to 7-membered heterocyclyl; L3 = double (bond), C1-6 alkylene, C2-6 alkenylene; A = CO2H, SO3H, SO2NH2, (un)substituted N-containing heterocyclyl, etc.; R1 = H, C1-6 alkyl; Ar = (un)substituted C6-10 aryl; Ra = organic group] or their salts are useful as antifungal

agents, especially suitable for injection. Thus, treatment of Et [N-methyl-N-[(4-methyl-1-piperazinyl)acetyl]amino]acetate with Ce_2CO_3 and 1-chloroethyl (1R,2R)-2-[[trans-2-[(1E,3E)-4-(4-cyano-2-fluorophenyl)-1,3-butadienyl]-1,3-dioxan-5-yl]thio]-1-(2,4-difluorophenyl)-1-[(1H-1,2,4-triazol-1-yl)methyl]propyl carbonate gave I [$\text{L}_1 = \text{L}_3 = \text{CH}_2$, $\text{G} = \text{NMe}$, $\text{L}_2 = \text{CO}$, $\text{A} = 4\text{-methylpiperidino}$, $\text{R}_1 = \text{Me}$, $\text{Ar} = 2,4\text{-difluorophenyl}$, $\text{Ra} = \text{trans-2-}[(1\text{E},3\text{E})\text{-4-(4-cyano-2-fluorophenyl)-1,3-butadienyl]-1,3-dioxan-5-ylthio}$] (II). II.HCl salt was incubated in human plasma to produce alc. derivative, which had MIC value of $\leq 0.008 \mu\text{g/mL}$ against *Candida albicans* TIMM 3164.

L9 ANSWER 2 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2005:513974 CAPLUS <<LOGINID::20060926>>
 DOCUMENT NUMBER: 143:133376
 TITLE: Preparation of (benzylamino)methyl
 1,2,4-triazoleethanol as antifungal agents
 INVENTOR(S): Zhang, Wannian; Sheng, Chunquan; Ji, Haitao
 PATENT ASSIGNEE(S): Second Surgeon Univ., the Chinese People's Liberation
 Army, Peop. Rep. China
 SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, No pp.
 given
 CODEN: CNXXEV
 DOCUMENT TYPE: Patent
 LANGUAGE: Chinese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	----	-----	-----	-----
CN 1539830	A	20041027	CN 2003-10108213	20031028
PRIORITY APPLN. INFO.: GI			CN 2003-10108213	20031028



AB Title compds. I [wherein $\text{X} = \text{OH}$ or ester group; Y (one or more) = halo, Me, Et, CF_3 or t-Bu; $\text{M} = \text{H}$ or Me; $\text{R} = (\text{un})\text{substituted Ph}$, alkyl or benzyl, or their stereoisomers and salts] were prepared for use as antifungal agents. For instance, II was synthesized in 72.6% yield via acylation of the corresponding aniline with a benzoyl chloride generated from 4-methylbenzoic acid. Some I showed stronger antifungal activity against

4 candida fungi than fluconazole and ketoconazole.

L9 ANSWER 3 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:1080693 CAPLUS <<LOGINID::20060926>>

DOCUMENT NUMBER: 142:56353

TITLE: Chemical modification of drugs into labile derivatives with enhanced properties

INVENTOR(S): Mulvihill, Mark Joseph; Shaber, Steven Howard

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 194 pp., Cont.-in-part of U.S. Ser. No. 493,865.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

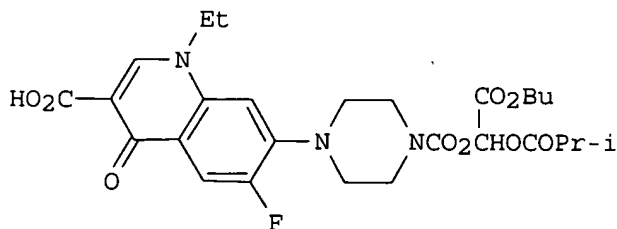
FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004254182	A1	20041216	US 2002-182076	20021217
US 6376548	B1	20020423	US 2000-493865	20000128
WO 2001054481	A2	20010802	WO 2001-US653	20010126
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
WO 2002072559	A1	20020919	WO 2002-US7423	20020312
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
PRIORITY APPLN. INFO.:			US 2000-178878P	P 20000128
			US 2000-493865	A2 20000128
			WO 2001-US653	W 20010126
			US 2001-804704	A 20010313

OTHER SOURCE(S): MARPAT 142:56353

GI



I

AB Drugs are chemical modified into labile derivs. with enhanced properties that

enable better transport through biol. barriers for drug delivery. I was prepared from 2-methylpropanoic acid (chlorocarbonyloxy)(butoxycarbonyl)methyl ester and norfloxacin. The antibacterial effect of I was tested against Staphylococcus aureus and Escherichia coli and compared with Kathon CG Biocid and norfloxacin.

L9 ANSWER 4 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:391288 CAPLUS <<LOGINID::20060926>>
DOCUMENT NUMBER: 140:391286
TITLE: Preparation of water-soluble triazole fungicides
INVENTOR(S): Mori, Makoto; Mikoshima, Yoshiko; Koso, Toshiyuki; Shibayama, Takahiro; Uchida, Takuya
PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 230 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2004137255	A2	20040513	JP 2003-208038	20030820
JP 3630326	B2	20050316		

PRIORITY APPLN. INFO.: JP 2002-241934 A 20020822

OTHER SOURCE(S): MARPAT 140:391286

AB The title triazole compds. XOCOLOR [wherein X represents such a group that the compound represented by the formula XOH has antifungal activity; L represents (C6-10 aryl)CH₂, etc.; further detail on said aryl is given; and R represents P(:O)(OH)₂, etc.] are prepared The conversion of one compound of this invention into a fungicidal metabolite by human liver microsomes was demonstrated. A formulation is given.

L9 ANSWER 5 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:267325 CAPLUS <<LOGINID::20060926>>
DOCUMENT NUMBER: 140:303697
TITLE: Preparation of water-soluble triazole compounds as antifungal agents
INVENTOR(S): Uchida, Takuya; Kagoshima, Yoshiko; Konosu, Toshiyuki; Shibayama, Takahiro
PATENT ASSIGNEE(S): Sankyo Company, Limited, Japan
SOURCE: PCT Int. Appl., 446 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004026862	A1	20040401	WO 2003-JP12032	20030919
W:				
AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW:				
GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
JP 2004131499	A2	20040430	JP 2003-324019	20030917
AU 2003264533	A1	20040408	AU 2003-264533	20030919

PRIORITY APPLN. INFO.:

JP 2002-274802

A 20020920

WO 2003-JP12032

W 20030919

OTHER SOURCE(S):

MARPAT 140:303697

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Triazole compds. represented by the general formula (I) [wherein L1 = C3-7 cycloalkanediyl, C1-6 alkylene, C2-6 alkenylene; G = a single bond, a double bond, O, NHCO, NMeCO, CONH, CONMe, CO, O-CO, CO-O; L2 = a single bond, a double bond, C3-7 cycloalkanediyl, 4- to 7-membered heterocyclic group; L3 = a single bond, a double bond, C1-6 alkylene, C2-6 alkenylene; A = CO2H, SO3H, OSO3H, SO2NH2, OPO3H2, each (un)substituted N-containing heterocyclyl or NH2; R1 = H, C1-6 alkyl; Ar = (un)substituted C6-10 aryl optionally having one to three substituents which are the same or different and are selected from the group consisting of halogen atoms and halogenated C1-6 alkyls; Ra represents an organic group] or pharmacol. acceptable salts thereof are prepared These compds., e.g. (II; R = Q).HCl, have very high solubility in water and in vivo are readily cleaved at the ester moiety to release an active form of an antifungal agent, e.g. II (R = H), and exhibit antifungal activity.

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 6 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:678606 CAPLUS <<LOGINID::20060926>>

DOCUMENT NUMBER: 139:197709

TITLE: macrolide erythromycin conjugates of biologically active compounds, methods for their preparation and use, formulation, and pharmaceutical applications thereof

INVENTOR(S): Burnet, Michael; Guse, Jan-Hinrich; Gutke, Hans-Jurgen; Beck, Albert; Tsotsou, Georgia; Droste-Borel, Irina; Reichert, Jeannette; Luyten, Kattie; Busch, Maximilian; Wolff, Michael; Khobzaoui, Moussa; Margutti, Simona; Meindl, Thomas; Kim, Gene; Barker, Laurence

PATENT ASSIGNEE(S): Sympore G.m.b.H., Germany

SOURCE: PCT Int. Appl., 183 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

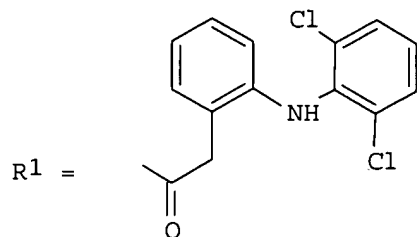
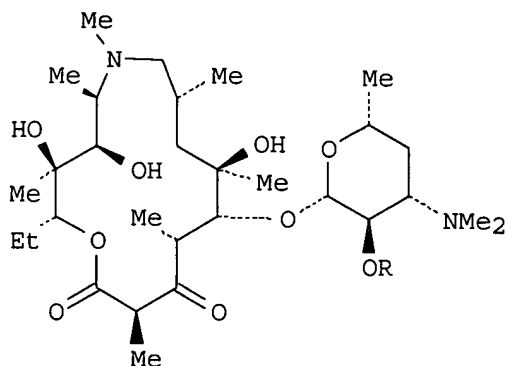
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003070174	A2	20030828	WO 2003-US4609	20030214
WO 2003070174	A3	20031113		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2476423	AA	20030828	CA 2003-2476423	20030214

AU 2003219770	A1	20030909	AU 2003-219770	20030214
EP 1483277	A2	20041208	EP 2003-716044	20030214
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2005171342	A1	20050804	US 2003-504787	20030214
PRIORITY APPLN. INFO.:			US 2002-357434P	P 20020215
			WO 2003-US4609	W 20030214

OTHER SOURCE(S): MARPAT 139:197709
GI

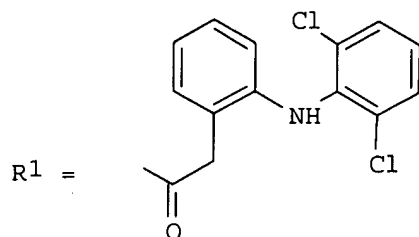
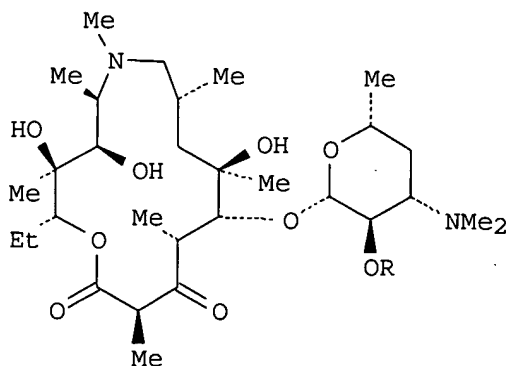


AB Erythromycin macrolide conjugates T-(L-C)_m, wherein T is a transportophore, L is a bond or a linker having a mol. weight up to 240 dalton, C is a non-antibiotic therapeutic agent, and m is 1-8, in which the transportophore has an immune selectivity ratio of at least 2, the transportophore is covalently bonded to the non-antibiotic therapeutic agent via the bond or the linker, and the compound has an immune selectivity ratio of at least 2, useful for enhancing efficacy of a therapeutic agent. Thus, macrolide I (R = R1) was prepared in 76% yield via coupling of I (R = H) with diclofenac as antitumor and antibacterial agent and was tested in vitro for its cytotoxicity and immunosuppressive activity using a mouse skin transplant model.

L9 ANSWER 7 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2003:678605 CAPLUS <<LOGINID::20060926>>
 DOCUMENT NUMBER: 139:197708
 TITLE: macrolide erythromycin conjugates of biologically active compounds, methods for their preparation and use, formulation, and pharmaceutical applications thereof
 INVENTOR(S): Burnet, Michael; Guse, Jan-Hinrich; Kim, Gene; Beck, Albert; Tsotsou, Georgia; Droste-Borel, Irina; Barker, Laurence; Wolff, Michael; Gutke, Hans-Jurgen
 PATENT ASSIGNEE(S): Sympore G.m.b.H., Germany
 SOURCE: PCT Int. Appl., 164 pp.
 CODEN: PIXXD2

DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003070173	A2	20030828	WO 2003-US4596	20030214
WO 2003070173	A3	20031204		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003215245	A1	20030909	AU 2003-215245	20030214
US 2004005641	A1	20040108	US 2003-367624	20030214
EP 1483579	A2	20041208	EP 2003-711061	20030214
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2006099660	A1	20060511	US 2005-504786	20050929
PRIORITY APPLN. INFO.:			US 2002-357589P	P 20020215
			WO 2003-US4596	W 20030214
OTHER SOURCE(S):		MARPAT 139:197708		
GI				



AB Erythromycin macrolide conjugates T-(L-C)_m, wherein T is a transportophore, L is a bond or a linker having a mol. weight up to 240 dalton, C is a non-antibiotic therapeutic agent, and m is 1-8, in which the transportophore has an immune selectivity ratio of at least 2, the transportophore is covalently bonded to the non-antibiotic therapeutic

agent via the bond or the linker, and the compound has an immune selectivity ratio of at least 2, useful for enhancing efficacy of a therapeutic agent. Thus, macrolide I (R = R1) was prepared in 76% yield via coupling of I (R = H) with diclofenac as antitumor and antibacterial agent and was tested in vitro for its cytotoxicity and immunosuppressive activity using a mouse skin transplant model.

L9 ANSWER 8 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2002:658113 CAPLUS <<LOGINID::20060926>>
 DOCUMENT NUMBER: 137:201316
 TITLE: Preparation of water-soluble triazole fungicides
 INVENTOR(S): Mori, Makoto; Kagoshima, Yoshiko; Uchida, Takuya; Konosu, Toshiyuki; Shibayama, Takahiro
 PATENT ASSIGNEE(S): Sankyo Company, Limited, Japan
 SOURCE: PCT Int. Appl., 301 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002066465	A1	20020829	WO 2002-JP1500	20020220
W: AU, BR, CA, CN, CO, CZ, HU, ID, IL, IN, KR, MX, NO, NZ, PH, PL, RU, SG, SK, US, VN, ZA				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
CA 2439001	AA	20020829	CA 2002-2439001	20020220
EP 1362856	A1	20031119	EP 2002-701569	20020220
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR				
CN 1503795	A	20040609	CN 2002-808471	20020220
BR 2002007534	A	20040720	BR 2002-7534	20020220
NZ 527693	A	20041029	NZ 2002-527693	20020220
CN 1720913	A	20060118	CN 2005-10091602	20020220
RU 2276670	C2	20060520	RU 2003-125879	20020220
JP 2002322176	A2	20021108	JP 2002-44541	20020221
JP 3708884	B2	20051019		
US 2004198790	A1	20041007	US 2003-647023	20030820
NO 2003003723	A	20031021	NO 2003-3723	20030821
ZA 2003006547	A	20040901	ZA 2003-6547	20030821
JP 2005325111	A2	20051124	JP 2005-129729	20050427
PRIORITY APPLN. INFO.:			JP 2001-46890	A 20010222
			CN 2002-808471	A3 20020220
			WO 2002-JP1500	W 20020220
			JP 2002-44541	A3 20020221

OTHER SOURCE(S): MARPAT 137:201316

AB The title triazole compds. XOCOLOR [wherein X represents such a group that the compound represented by the formula XOH has antifungal activity; L represents (C6-10 aryl)CH2, etc.; further detail on said aryl is given; and R represents P(:O)(OH)2, etc.] are prepared The conversion of one compound of this invention into a fungicidal metabolite by human liver microsomes was demonstrated. A formulation is given.

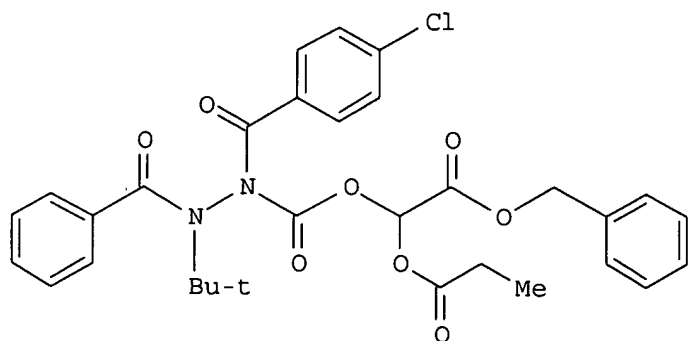
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 9 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2001:564979 CAPLUS <<LOGINID::20060926>>
 DOCUMENT NUMBER: 135:152561
 TITLE: Synthesis of (e.g.) α -(hydrazino)acyloxy-acetates and derivatives as intermediates for biologically active compounds

INVENTOR(S): Mulvihill, Mark Joseph
 PATENT ASSIGNEE(S): Rohm and Haas Company, USA
 SOURCE: PCT Int. Appl., 193 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 4
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001055082	A2	20010802	WO 2001-US652	20010126
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6376548	B1	20020423	US 2000-493865	20000128
US 2001039343	A1	20011108	US 2001-804704	20010313
WO 2002072559	A1	20020919	WO 2002-US7423	20020312
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			US 2000-178878P	P 20000128
			US 2000-493865	A 20000128
			WO 2001-US652	A1 20010126
			US 2001-804704	A 20010313

OTHER SOURCE(S): MARPAT 135:152561
 GI



AB Title compds. Y1-C(:G10)G11-CR1R2Y2 where R1 = C(:G30)G31t-(X3)dZ3 [G10, G11, G30 = S, O; G31 = O, S, N; t, d = 0, 1; X3 = O, S, N, P, C attached to Z3 when t = 0; X3 = N attached to Z3 when t = 1 and when G31 = N; X3 = C attached to Z3 when t = 1 and G31 = O, S; G31t-(X3)dZ3 = a biol. active moiety when d = 1; (X3)dZ3 (when d = 0, t = 1) = H, alkyl,

alkylcarbonyloxyalkyl, etc.; R2 = H, alk(en/yn)yl, alkoxyalkyl, etc.; Y1-2 = Cl, Br, I, OCCl3, alkoxy, etc.] were prepared Over 100 synthetic examples were provided. For instance, α -Iodo- α -[[N'-Benzoyl-N'-tert-butyl-N-(4-chlorobenzoyl)hydrazino]carbonyl]oxy]acetic acid benzyl ester (preparation given) was treated with propionic acid and diisopropylethylamine in THF to give I. Title compds. are useful intermediates for biol. active compds.

L9 ANSWER 10 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:564774 CAPLUS <<LOGINID::20060926>>

DOCUMENT NUMBER: 135:152823

TITLE: Chemical modification of drugs into labile derivatives with enhanced properties

INVENTOR(S): Mulvihill, Mark Joseph; Shaber, Steven Howard

PATENT ASSIGNEE(S): Rohm and Haas Company, USA

SOURCE: PCT Int. Appl., 364 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

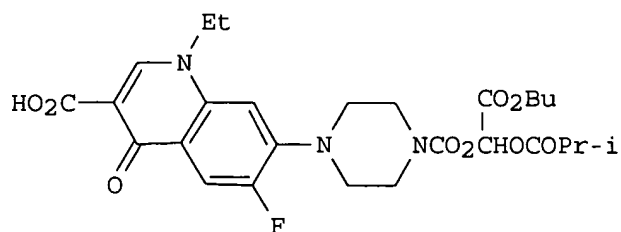
FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001054481	A2	20010802	WO 2001-US653	20010126
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6376548	B1	20020423	US 2000-493865	20000128
CA 2397831	AA	20010802	CA 2001-2397831	20010126
AU 2001032753	A5	20010807	AU 2001-32753	20010126
EP 1272463	A1	20030108	EP 2001-904803	20010126
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004501067	T2	20040115	JP 2001-555473	20010126
WO 2002072559	A1	20020919	WO 2002-US7423	20020312
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004254182	A1	20041216	US 2002-182076	20021217
PRIORITY APPLN. INFO.:			US 2000-178878P	P 20000128
			US 2000-493865	A 20000128
			WO 2001-US653	W 20010126
			US 2001-804704	A 20010313

OTHER SOURCE(S): MARPAT 135:152823

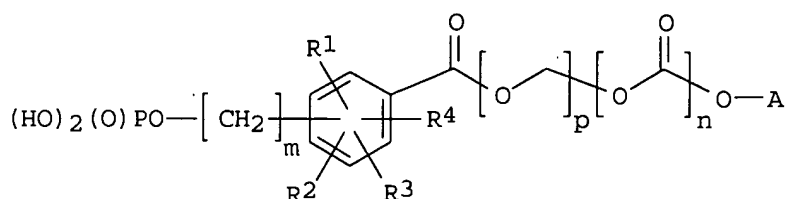
GI



AB Drugs are chemical modified into labile derivs. with enhanced properties that enable better transport through biol. barriers for drug delivery. I was prepared from 2-methylpropanoic acid (chlorocarbonyloxy) (butoxycarbonyl)methyl ester and norfloxacin. The antibacterial effect of I was tested against Staphylococcus aureus and Escherichia coli and compared with Kathon CG Biocid and norfloxacin.

L9 ANSWER 11 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2000:368112 CAPLUS <<LOGINID::20060926>>
 DOCUMENT NUMBER: 133:4664
 TITLE: Preparation and antifungal activity of water soluble prodrugs of triazole compounds
 INVENTOR(S): Hudyma, Thomas W.; Kim, Oak K.; Zheng, Xiaofan
 PATENT ASSIGNEE(S): Bristol-Myers Squibb Co., USA
 SOURCE: PCT Int. Appl., 69 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000030655	A1	20000602	WO 1999-US27249	19991117
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2002049334	A1	20020425	US 2001-966556	20010927
US 6620799	B2	20030916		
US 2002049216	A1	20020425	US 2001-965755	20010928
US 6620934	B2	20030916		
US 2002049336	A1	20020425	US 2001-966220	20010928
US 6458961	B2	20021001		
PRIORITY APPLN. INFO.:			US 1998-109184P	P 19981120
			US 1999-441541	A1 19991116
OTHER SOURCE(S):			MARPAT 133:4664	
GI				



I

AB Water-soluble prodrugs of triazole antifungal compds. I [A = non-hydroxy portion of a triazole antifungal compound; n = 0, 1; m = 0-6; p = 1, 2; R1-R4 = H, alkyl, OH, etc.] were prepared E.g., (2R,3R)-3-[4-(4-cyanophenyl)thiazol-2-yl]-2-(2,4-difluorophenyl)-1-(1H-1,2,4-triazol-1-yl)-2-[[[o-phosphonooxy]benzoyloxy]methoxy]butane was prepared

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 12 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1999:763866 CAPLUS <<LOGINID::20060926>>

DOCUMENT NUMBER: 132:3366

TITLE: Preparation of water-soluble prodrugs of triazole antifungal compounds

INVENTOR(S): Hudyma, Thomas W.; Kim, Oak K.; Zheng, Xiaofan

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 65 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

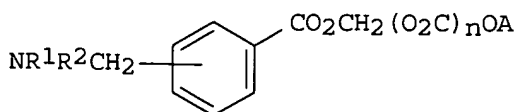
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9961017	A1	19991202	WO 1999-US11378	19990521
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6265584	B1	20010724	US 1999-315606	19990520
AU 9940096	A1	19991213	AU 1999-40096	19990521
US 2001012843	A1	20010809	US 2001-789982	20010221
US 6359141	B2	20020319		
US 2001039353	A1	20011108	US 2001-789986	20010221
US 6486159	B2	20021126		

PRIORITY APPLN. INFO.: US 1998-86435P P 19980522
US 1998-103560P P 19981007
US 1999-315606 A3 19990520
WO 1999-US11378 W 19990521

OTHER SOURCE(S): MARPAT 132:3366
GI



I

AB Water-soluble prodrugs of triazole antifungal compds. having a secondary or tertiary hydroxy group are provided. More particularly, new water-soluble triazole antifungal compds. are provided having general formula I [A = non-hydroxy portion of a triazole antifungal compound; n = 0, 1; R1, R2 = H, alkyl, alkenyl; R1R2N = heterocyclic group]. E.g., (2R,3R)-3-[4-(4-cyanophenyl)thiazol-2-yl]-2-(2,4-difluorophenyl)-1-(1H-1,2,4-triazol-1-yl)-2-[[p-(diethylaminomethyl)benzoyloxy]methoxy]butane was prepared

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1998:147321 CAPLUS <<LOGINID::20060926>>

DOCUMENT NUMBER: 128:217533

TITLE: Preparation of high molecular weight polymer-based prodrugs

INVENTOR(S): Greenwald, Richard B.; Pendri, Annapurna; Zhao, Hong

PATENT ASSIGNEE(S): Enzon, Inc., USA

SOURCE: PCT Int. Appl., 81 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

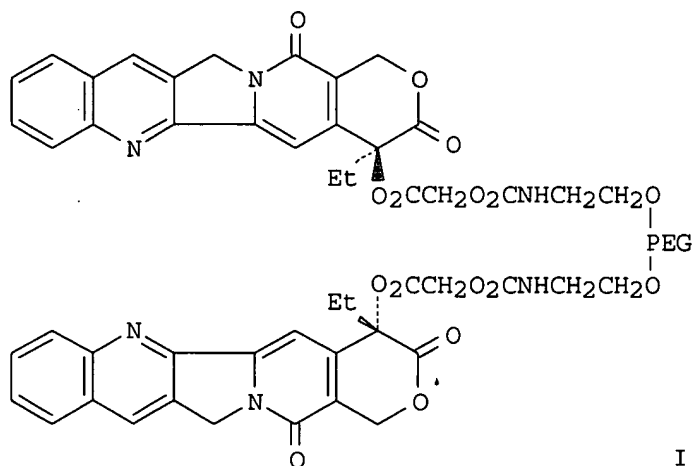
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 12

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9807713	A1	19980226	WO 1997-US14692	19970820
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5840900	A	19981124	US 1996-700269	19960820
CA 2263409	AA	19980226	CA 1997-2263409	19970820
AU 9740794	A1	19980306	AU 1997-40794	19970820
AU 730244	B2	20010301		
EP 923566	A1	19990623	EP 1997-938484	19970820
EP 923566	B1	20031029		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
NZ 334283	A	20000327	NZ 1997-334283	19970820
JP 2000517304	T2	20001226	JP 1998-510949	19970820
AT 253060	E	20031115	AT 1997-938484	19970820
PRIORITY APPLN. INFO.:				
			US 1996-700269	A 19960820
			US 1993-140346	B2 19931020
			US 1995-380873	A2 19950130
			US 1995-537207	A2 19950929
			WO 1997-US14692	W 19970820

GI



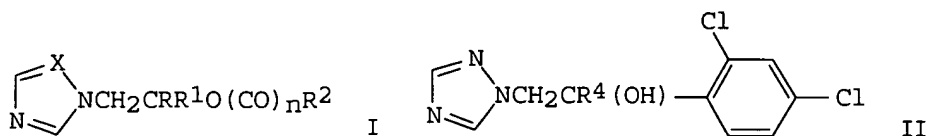
AB The present invention is directed to compns. DY'C(:Y)(CR1R2)nXR3 [D = biol. active moiety, e.g. (20S)-camptothecin, paclitaxel, podopyllotoxin, floxuridine, acyclovir, cyclosporin A; X = electron withdrawing group; Y, Y' = O, S; n = 0 - 12; R1, R2 = H, alkyl, (un)substituted aryl, aralkyl, (un)substituted heteroalkyls; R3 = non-antigenic polymer, e.g. polyethylene glycol (PEG) having a mol. weight of at least about 20,000, straight or branched (un)substituted alkyl, (un)substituted cycloalkyl, carboxyalkyl, carboalkoxy alkyl, dialkylaminoalkyl, phenylalkyl, phenylaryl; R4, R5 = H, (un)substituted alkyl, (un)substituted aryl, aralkyl, (un)substituted heteroalkyl; R4R5 = cyclic C5-C7 ring; except that R1 and R2 are both not H when n is 1 and when R3 is a substantially non-antigenic polymer]. Polyethylene glycol bound camptothecin derivative I [PEG = polyethylene glycol, 40,000 daltons (40kDa)] was prepared from (20S)-camptothecin via acylation with PhCH2OCH2CO2H in CH2Cl2 containing DIPC and DMAP, hydrogenolysis in EtOH containing cyclohexene and 10% Pd/C and condensation with PEG(40kDa) bis(2-isocyanatoethyl) ether in PhMe containing dibutyltin dilaurate. I showed antileukemic (IC50 = 7 nM vs. P-388) and antitumor activity (IC50 = 30 nM vs. human colon carcinoma HT-29).

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 14 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1985:6528 CAPLUS <<LOGINID::20060926>>
 DOCUMENT NUMBER: 102:6528
 TITLE: Substituted 2-(azolylmethyl)-2-hydroxyacetic acids and their esters useful as pesticides
 INVENTOR(S): Kunz, Walter; Eckhardt, Wolfgang
 PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz.
 SOURCE: Ger. (East), 75 pp.
 CODEN: GEXXA8
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DD 206525	A5	19840201	DD 1982-240436	19820603
PRIORITY APPLN. INFO.:			DD 1982-240436	19820603

GI

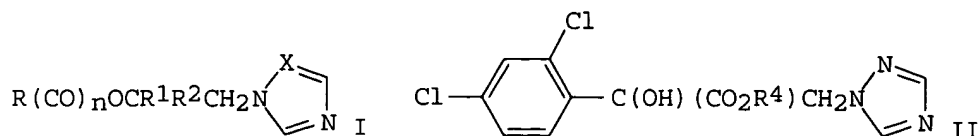


AB The title compds. [I; R = (un)substituted Ph, biphenyl, naphthyl; R1 = cyano, CO2R3, C(O)SR3, COR4; R2 = H, alkoxy, alkylthio, (un)substituted alkyl, alkenyl, Ph, PhCH2, heterocycl, amino; R3 = H, cycloalkyl, (un)substituted alkyl, alkenyl, alkynyl, Ph, PhCH2; R4 = amino; X = CH, N; n = 0-2] were prepared. Thus, 1-(2,4-dichlorophenyl)-2-(1H-1,2,4-triazol-1-yl)ethanone was treated with Me2C(OH)CN to give cyanohydrin II (R4 = cyano). This was hydrolyzed in concentrated HCl to give II (R4 = CO2H) which was refluxed in MeOH with SO2Cl2 to give II (R4 = CO2Me) (III). At 0.002% III gave complete control of Puccinia graminis on wheat.

L9 ANSWER 15 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1983:215598 CAPLUS <<LOGINID::20060926>>
 DOCUMENT NUMBER: 98:215598
 TITLE: Mandelic acid and mandelonitrile derivatives and their use in combating microorganisms
 INVENTOR(S): Kunz, Walter; Eckhardt, Wolfgang
 PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz.
 SOURCE: Eur. Pat. Appl., 76 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 71568	A1	19830209	EP 1982-810234	19820528
EP 71568	B1	19870121		
R: AT, BE, CH, DE, FR, IT, LI, LU, NL, SE				
GB 2104065	A1	19830302	GB 1982-15579	19820527
GB 2104065	B2	19851106		
AT 25080	E	19870215	AT 1982-810234	19820528
CA 1198438	A1	19851224	CA 1982-404288	19820602
IL 65951	A1	19880331	IL 1982-65951	19820602
DK 8202493	A	19821205	DK 1982-2493	19820603
NO 8201855	A	19821206	NO 1982-1855	19820603
AU 8284459	A1	19821209	AU 1982-84459	19820603
AU 550357	B2	19860320		
ZA 8203896	A	19830427	ZA 1982-3896	19820603
BR 8203277	A	19830524	BR 1982-3277	19820603
ES 512815	A1	19830801	ES 1982-512815	19820603
HU 30880	O	19840428	HU 1982-1794	19820603
HU 189145	B	19860630		
JP 58015960	A2	19830129	JP 1982-96059	19820604
JP 02061459	B4	19901220		
US 4663463	A	19870505	US 1984-676765	19841130
PRIORITY APPLN. INFO.:			CH 1981-3674	A 19810604
			CH 1982-2840	A 19820507
			EP 1982-810234	A 19820528
			US 1982-383398	A3 19820601

OTHER SOURCE(S): MARPAT 98:215598
 GI

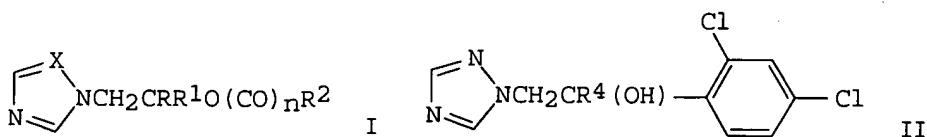


AB Mandelic acid derivs. I [R = H, (un)substituted alkyl, alkenyl, Ph, etc.; R¹ = (un)substituted Ph, biphenyl, naphthyl; R² = cyano, COR³; R³ = OH, (un)substituted alkoxy, alkylthio, PhO, amino, etc.; X = CH, N; n = 0-2] were prepared. Thus, 2,4-dichlorophenyl 1H-1,2,4-triazol-1-ylmethyl ketone was converted to its cyanohydrin and hydrolyzed to give II (R⁴ = H). This was dissolved in MeOH and treated with SOCl₂ to give II (R⁴ = Me) (III). At 0.002% III gave complete protection to wheat against Puccinia graminis.

=> d 19 14-15 ibib abs hitstr

L9 ANSWER 14 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1985:6528 CAPLUS <<LOGINID::20060926>>
 DOCUMENT NUMBER: 102:6528
 TITLE: Substituted 2-(azolylmethyl)-2-hydroxyacetic acids and their esters useful as pesticides
 INVENTOR(S): Kunz, Walter; Eckhardt, Wolfgang
 PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz.
 SOURCE: Ger. (East), 75 pp.
 CODEN: GEXXA8
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DD 206525	A5	19840201	DD 1982-240436	19820603
PRIORITY APPLN. INFO.: GI			DD 1982-240436	19820603



AB The title compds. [I; R = (un)substituted Ph, biphenyl, naphthyl; R¹ = cyano, CO₂R³, C(O)SR³, COR⁴; R² = H, alkoxy, alkylthio, (un)substituted alkyl, alkenyl, Ph, PhCH₂, heterocycl, amino; R³ = H, cycloalkyl, (un)substituted alkyl, alkenyl, alkynyl, Ph, PhCH₂; R⁴ = amino; X = CH, N; n = 0-2] were prepared. Thus, 1-(2,4-dichlorophenyl)-2-(1H-1,2,4-triazol-1-yl)ethanone was treated with Me₂C(OH)CN to give cyanohydrin II (R⁴ = cyano). This was hydrolyzed in concentrated HCl to give II (R⁴ = CO₂H) which was refluxed in MeOH with SO₂Cl₂ to give II (R⁴ = CO₂Me) (III). At 0.002% III gave complete control of Puccinia graminis on wheat.

IT 85792-23-0P 85792-30-9P 85792-32-1P
 85792-65-0P 85792-66-1P 85792-68-3P
 85792-69-4P 85792-70-7P 85792-73-0P
 85792-74-1P 85792-75-2P 85792-76-3P

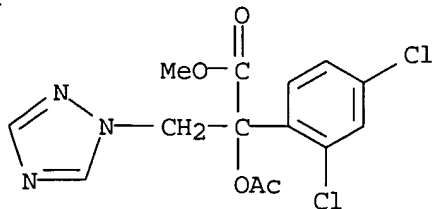
85792-77-4P 85792-79-6P 85792-80-9P
85792-81-0P 85792-87-6P 85792-88-7P
85792-89-8P 85792-90-1P 85792-91-2P
85792-92-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and fungicidal activity of)

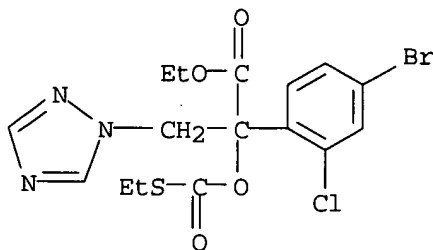
RN 85792-23-0 CAPLUS

CN 1H-1,2,4-Triazole-1-propanoic acid, α -(acetyloxy)- α -(2,4-dichlorophenyl)-, methyl ester (9CI) (CA INDEX NAME)



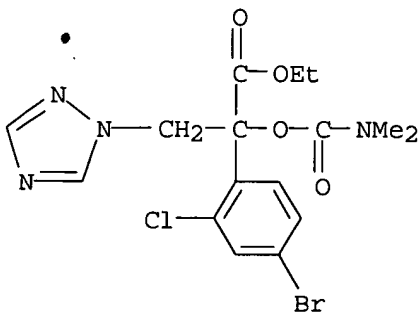
RN 85792-30-9 CAPLUS

CN 1H-1,2,4-Triazole-1-propanoic acid, α -(4-bromo-2-chlorophenyl)- α -[[[(ethylthio)carbonyl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)



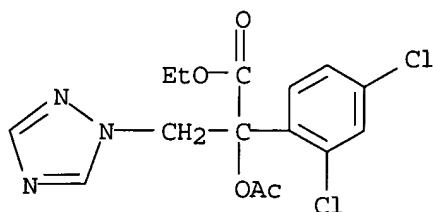
RN 85792-32-1 CAPLUS

CN 1H-1,2,4-Triazole-1-propanoic acid, α -(4-bromo-2-chlorophenyl)- α -[[[(dimethylamino)carbonyl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)



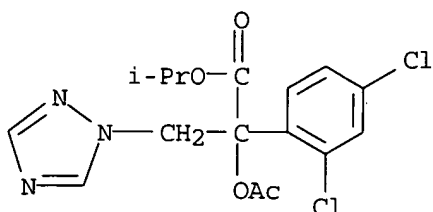
RN 85792-65-0 CAPLUS

CN 1H-1,2,4-Triazole-1-propanoic acid, α -(acetyloxy)- α -(2,4-dichlorophenyl)-, ethyl ester (9CI) (CA INDEX NAME)



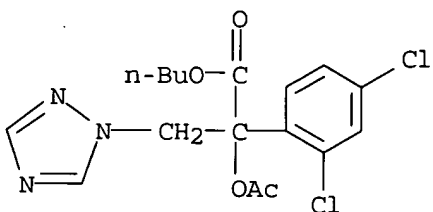
RN 85792-66-1 CAPLUS

CN 1H-1,2,4-Triazole-1-propanoic acid, α -(acetyloxy)- α -(2,4-dichlorophenyl)-, 1-methylethyl ester (9CI) (CA INDEX NAME)



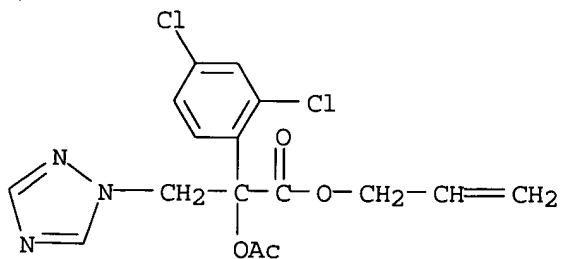
RN 85792-68-3 CAPLUS

CN 1H-1,2,4-Triazole-1-propanoic acid, α -(acetyloxy)- α -(2,4-dichlorophenyl)-, butyl ester (9CI) (CA INDEX NAME)



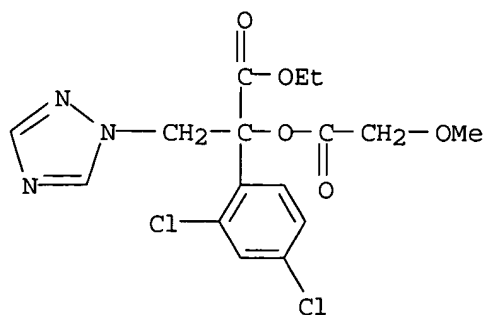
RN 85792-69-4 CAPLUS

CN 1H-1,2,4-Triazole-1-propanoic acid, α -(acetyloxy)- α -(2,4-dichlorophenyl)-, 2-propenyl ester (9CI) (CA INDEX NAME)



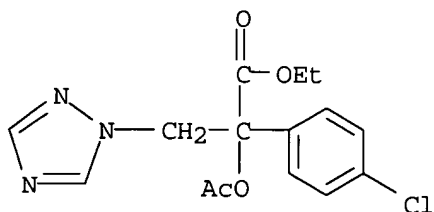
RN 85792-70-7 CAPLUS

CN 1H-1,2,4-Triazole-1-propanoic acid, α -(2,4-dichlorophenyl)- α -[(methoxyacetyl)oxy]-, ethyl ester (9CI) (CA INDEX NAME)



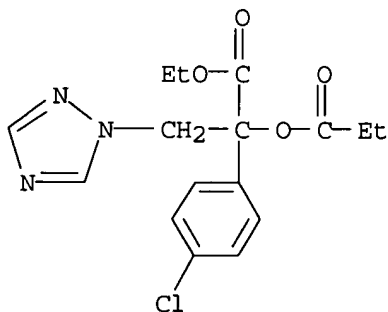
RN 85792-73-0 CAPLUS

CN 1H-1,2,4-Triazole-1-propanoic acid, α -(acetyloxy)- α -(4-chlorophenyl)-, ethyl ester (9CI) (CA INDEX NAME)



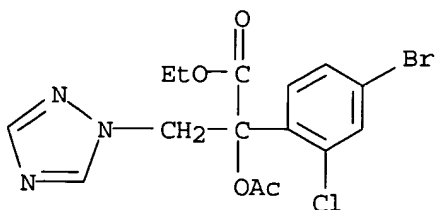
RN 85792-74-1 CAPLUS

CN 1H-1,2,4-Triazole-1-propanoic acid, α -(4-chlorophenyl)- α -(1-oxopropoxy)-, ethyl ester (9CI) (CA INDEX NAME)



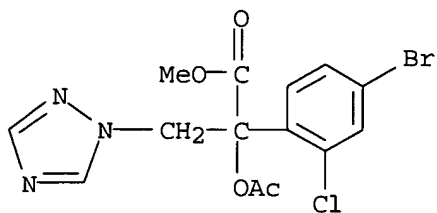
RN 85792-75-2 CAPLUS

CN 1H-1,2,4-Triazole-1-propanoic acid, α -(acetyloxy)- α -(4-bromo-2-chlorophenyl)-, ethyl ester (9CI) (CA INDEX NAME)



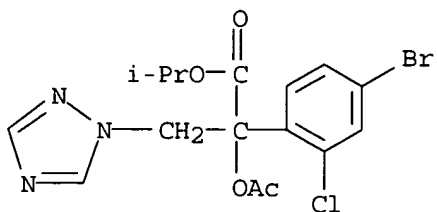
RN 85792-76-3 CAPLUS

CN 1H-1,2,4-Triazole-1-propanoic acid, α -(acetyloxy)- α -(4-bromo-2-chlorophenyl)-, methyl ester (9CI) (CA INDEX NAME)



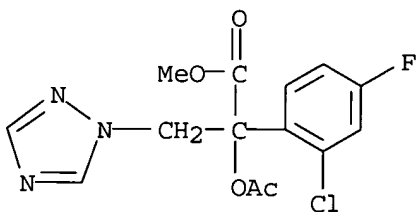
RN 85792-77-4 CAPLUS

CN 1H-1,2,4-Triazole-1-propanoic acid, α -(acetyloxy)- α -(4-bromo-2-chlorophenyl)-, 1-methylethyl ester (9CI) (CA INDEX NAME)



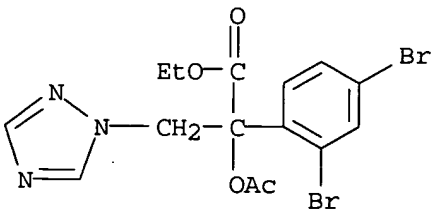
RN 85792-79-6 CAPLUS

CN 1H-1,2,4-Triazole-1-propanoic acid, α -(acetyloxy)- α -(2-chloro-4-fluorophenyl)-, methyl ester (9CI) (CA INDEX NAME)



RN 85792-80-9 CAPLUS

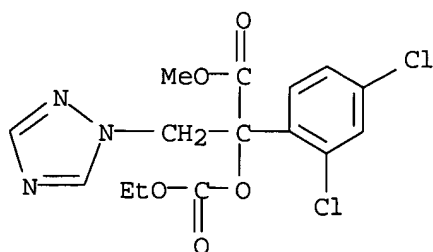
CN 1H-1,2,4-Triazole-1-propanoic acid, α -(acetyloxy)- α -(2,4-dibromophenyl)-, ethyl ester (9CI) (CA INDEX NAME)



RN 85792-81-0 CAPLUS

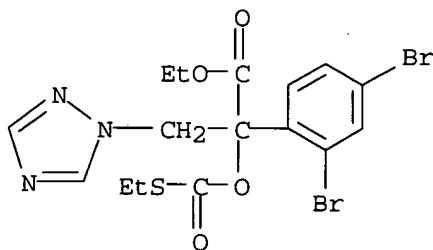
CN 1H-1,2,4-Triazole-1-propanoic acid, α -(2,4-dichlorophenyl)- α -

[(ethoxycarbonyl)oxy]-, methyl ester (9CI) (CA INDEX NAME)



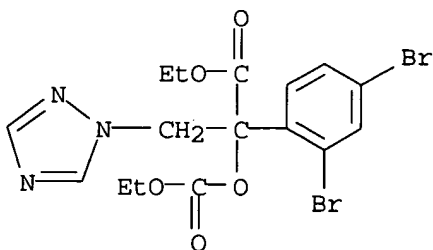
RN 85792-87-6 CAPLUS

CN 1H-1,2,4-Triazole-1-propanoic acid, α -(2,4-dibromophenyl)- α -
[[(ethylthio)carbonyl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)



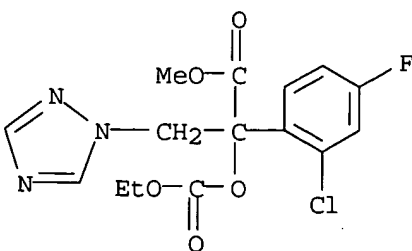
RN 85792-88-7 CAPLUS

CN 1H-1,2,4-Triazole-1-propanoic acid, α -(2,4-dibromophenyl)- α -
[(ethoxycarbonyl)oxy]-, ethyl ester (9CI) (CA INDEX NAME)

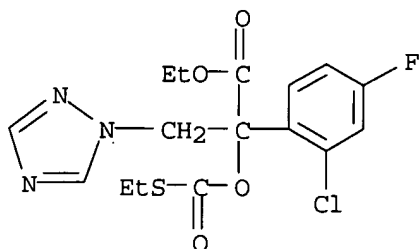


RN 85792-89-8 CAPLUS

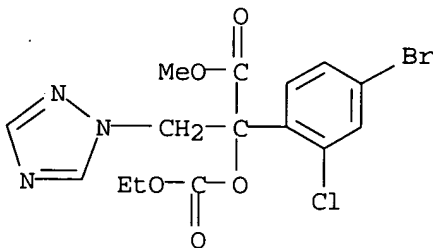
CN 1H-1,2,4-Triazole-1-propanoic acid, α -(2-chloro-4-fluorophenyl)-
 α -[(ethoxycarbonyl)oxy]-, methyl ester (9CI) (CA INDEX NAME)



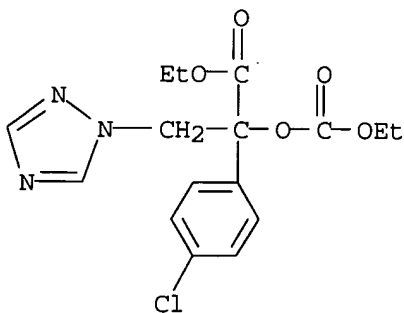
RN 85792-90-1 CAPLUS
 CN 1H-1,2,4-Triazole-1-propanoic acid, α -(2-chloro-4-fluorophenyl)-
 α -[[ethythio)carbonyl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)



RN 85792-91-2 CAPLUS
 CN 1H-1,2,4-Triazole-1-propanoic acid, α -(4-bromo-2-chlorophenyl)-
 α -[(ethoxycarbonyl)oxy]-, methyl ester (9CI) (CA INDEX NAME)



RN 85792-92-3 CAPLUS
 CN 1H-1,2,4-Triazole-1-propanoic acid, α -(4-chlorophenyl)- α -
 [(ethoxycarbonyl)oxy]-, ethyl ester (9CI) (CA INDEX NAME)



L9 ANSWER 15 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1983:215598 CAPLUS <<LOGINID::20060926>>
 DOCUMENT NUMBER: 98:215598
 TITLE: Mandelic acid and mandelonitrile derivatives and their
 use in combating microorganisms
 INVENTOR(S): Kunz, Walter; Eckhardt, Wolfgang
 PATENT ASSIGNEE(S): Ciba-Geigy A.-G. , Switz.
 SOURCE: Eur. Pat. Appl., 76 pp.

DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

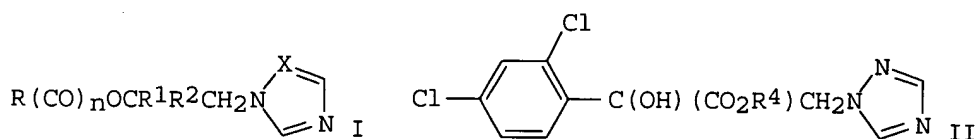
CODEN: EPXXDW

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 71568	A1	19830209	EP 1982-810234	19820528
EP 71568	B1	19870121		
R: AT, BE, CH, DE, FR, IT, LI, LU, NL, SE				
GB 2104065	A1	19830302	GB 1982-15579	19820527
GB 2104065	B2	19851106		
AT 25080	E	19870215	AT 1982-810234	19820528
CA 1198438	A1	19851224	CA 1982-404288	19820602
IL 65951	A1	19880331	IL 1982-65951	19820602
DK 8202493	A	19821205	DK 1982-2493	19820603
NO 8201855	A	19821206	NO 1982-1855	19820603
AU 8284459	A1	19821209	AU 1982-84459	19820603
AU 550357	B2	19860320		
ZA 8203896	A	19830427	ZA 1982-3896	19820603
BR 8203277	A	19830524	BR 1982-3277	19820603
ES 512815	A1	19830801	ES 1982-512815	19820603
HU 30880	O	19840428	HU 1982-1794	19820603
HU 189145	B	19860630		
JP 58015960	A2	19830129	JP 1982-96059	19820604
JP 02061459	B4	19901220		
US 4663463	A	19870505	US 1984-676765	19841130

PRIORITY APPLN. INFO.:

CH 1981-3674	A	19810604
CH 1982-2840	A	19820507
EP 1982-810234	A	19820528
US 1982-383398	A3	19820601

OTHER SOURCE(S): MARPAT 98:215598
 GI

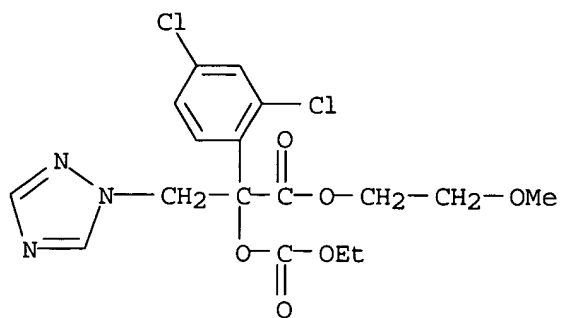


AB Mandelic acid derivs. I [R = H, (un)substituted alkyl, alkenyl, Ph, etc.; R1 = (un)substituted Ph, biphenyl, naphthyl; R2 = cyano, COR3; R3 = OH, (un)substituted alkoxy, alkylthio, PhO, amino, etc.; X = CH, N; n = 0-2] were prepared. Thus, 2,4-dichlorophenyl 1H-1,2,4-triazol-1-ylmethyl ketone was converted to its cyanohydrin and hydrolyzed to give II (R4 = H). This was dissolved in MeOH and treated with SOCl2 to give II (R4 = Me) (III). At 0.002% III gave complete protection to wheat against Puccinia graminis.

IT 85793-24-4 85793-26-6
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study) (fungicidal activity of)

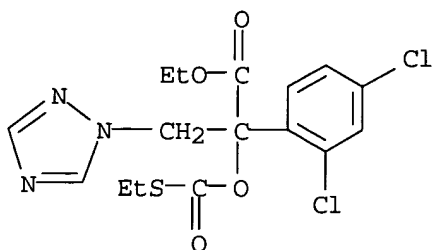
RN 85793-24-4 CAPLUS

CN 1H-1,2,4-Triazole-1-propanoic acid, α -(2,4-dichlorophenyl)- α -[(ethoxycarbonyl)oxy]-, 2-methoxyethyl ester (9CI) (CA INDEX NAME)



RN 85793-26-6 CAPLUS

CN 1H-1,2,4-Triazole-1-propanoic acid, α -(2,4-dichlorophenyl)- α -
[[(ethylthio)carbonyloxy]-, ethyl ester (9CI) (CA INDEX NAME)

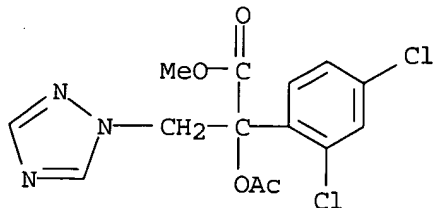


IT 85792-23-0P 85792-30-9P 85792-32-1P
85792-65-0P 85792-66-1P 85792-68-3P
85792-75-2P 85792-76-3P 85792-77-4P
85792-79-6P 85792-81-0P 85792-82-1P
85792-85-4P 85792-89-8P 85792-90-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and fungicidal activity of)

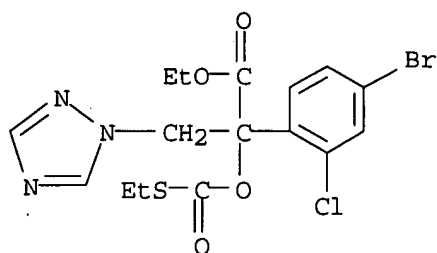
RN 85792-23-0 CAPLUS

CN 1H-1,2,4-Triazole-1-propanoic acid, α -(acetyloxy)- α -(2,4-dichlorophenyl)-, methyl ester (9CI) (CA INDEX NAME)



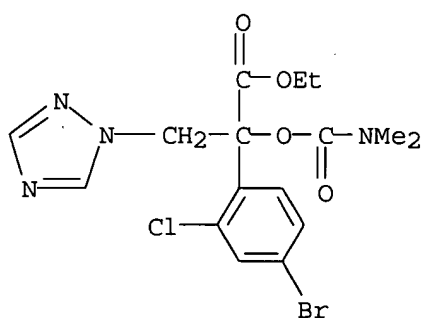
RN 85792-30-9 CAPLUS

CN 1H-1,2,4-Triazole-1-propanoic acid, α -(4-bromo-2-chlorophenyl)-
 α -[[(ethylthio)carbonyloxy]-, ethyl ester (9CI) (CA INDEX NAME)



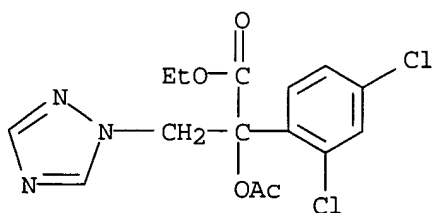
RN 85792-32-1 CAPLUS

CN 1H-1,2,4-Triazole-1-propanoic acid, α -(4-bromo-2-chlorophenyl)- α -[[dimethylamino]carbonyloxy]-, ethyl ester (9CI) (CA INDEX NAME)



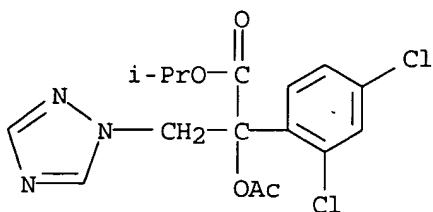
RN 85792-65-0 CAPLUS

CN 1H-1,2,4-Triazole-1-propanoic acid, α -(acetyloxy)- α -(2,4-dichlorophenyl)-, ethyl ester (9CI) (CA INDEX NAME)



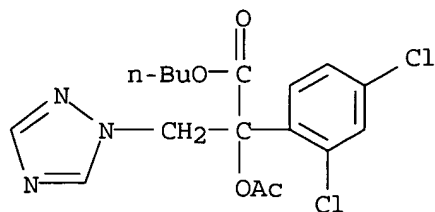
RN 85792-66-1 CAPLUS

CN 1H-1,2,4-Triazole-1-propanoic acid, α -(acetyloxy)- α -(2,4-dichlorophenyl)-, 1-methylethyl ester (9CI) (CA INDEX NAME)



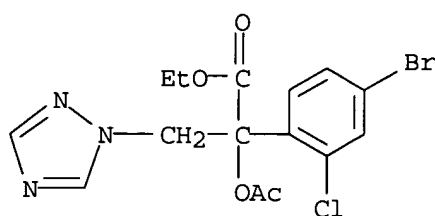
RN 85792-68-3 CAPLUS

CN 1H-1,2,4-Triazole-1-propanoic acid, α -(acetyloxy)- α -(2,4-dichlorophenyl)-, butyl ester (9CI) (CA INDEX NAME)



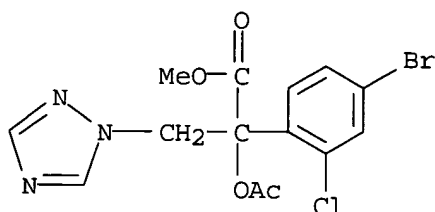
RN 85792-75-2 CAPLUS

CN 1H-1,2,4-Triazole-1-propanoic acid, α -(acetyloxy)- α -(4-bromo-2-chlorophenyl)-, ethyl ester (9CI) (CA INDEX NAME)



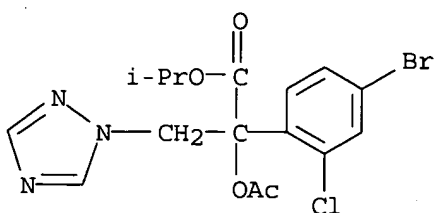
RN 85792-76-3 CAPLUS

CN 1H-1,2,4-Triazole-1-propanoic acid, α -(acetyloxy)- α -(4-bromo-2-chlorophenyl)-, methyl ester (9CI) (CA INDEX NAME)



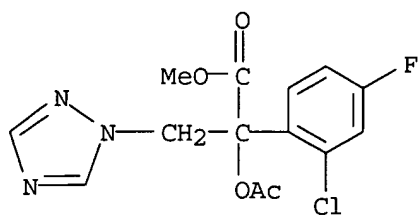
RN 85792-77-4 CAPLUS

CN 1H-1,2,4-Triazole-1-propanoic acid, α -(acetyloxy)- α -(4-bromo-2-chlorophenyl)-, 1-methylethyl ester (9CI) (CA INDEX NAME)



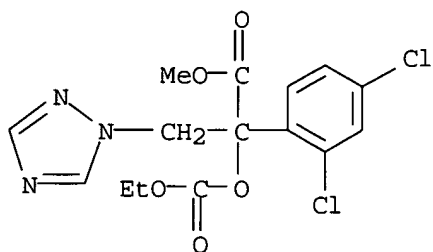
RN 85792-79-6 CAPLUS

CN 1H-1,2,4-Triazole-1-propanoic acid, α -(acetyloxy)- α -(2-chloro-4-fluorophenyl)-, methyl ester (9CI) (CA INDEX NAME)



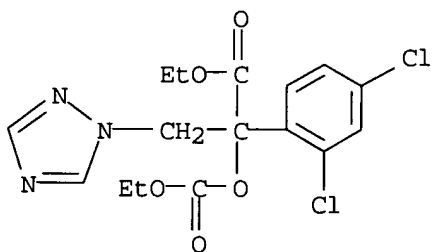
RN 85792-81-0 CAPLUS

CN 1H-1,2,4-Triazole-1-propanoic acid, α -(2,4-dichlorophenyl)- α -
[(ethoxycarbonyl)oxy]-, methyl ester (9CI) (CA INDEX NAME)



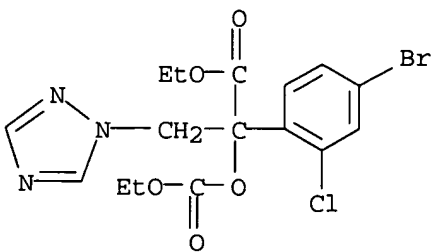
RN 85792-82-1 CAPLUS

CN 1H-1,2,4-Triazole-1-propanoic acid, α -(2,4-dichlorophenyl)- α -
[(ethoxycarbonyl)oxy]-, ethyl ester (9CI) (CA INDEX NAME)



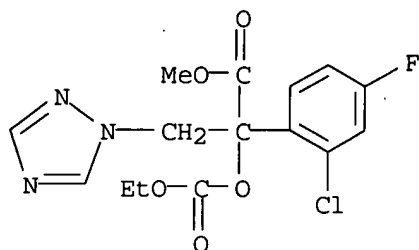
RN 85792-85-4 CAPLUS

CN 1H-1,2,4-Triazole-1-propanoic acid, α -(4-bromo-2-chlorophenyl)-
 α -[(ethoxycarbonyl)oxy]-, ethyl ester (9CI) (CA INDEX NAME)



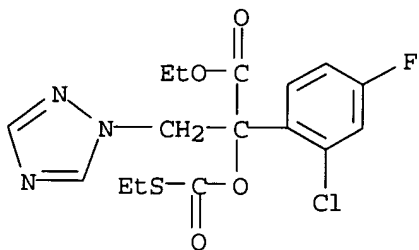
RN 85792-89-8 CAPLUS

CN 1H-1,2,4-Triazole-1-propanoic acid, α -(2-chloro-4-fluorophenyl)-
 α -[(ethoxycarbonyl)oxy]-, methyl ester (9CI) (CA INDEX NAME)



RN 85792-90-1 CAPLUS

CN 1H-1,2,4-Triazole-1-propanoic acid, α -(2-chloro-4-fluorophenyl)-
 α -[(ethylthio)carbonyl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)

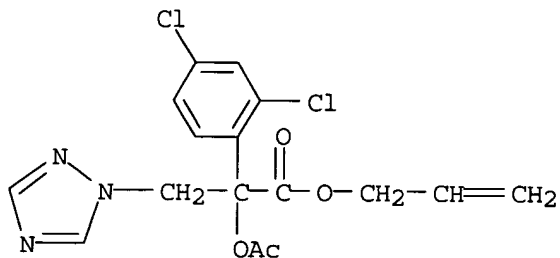


IT 85792-69-4P 85792-70-7P 85792-73-0P
 85792-74-1P 85792-78-5P 85792-80-9P
 85792-84-3P 85792-86-5P 85792-87-6P
 85792-88-7P 85792-91-2P 85792-92-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

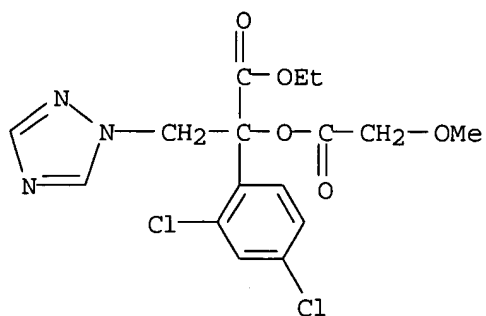
RN 85792-69-4 CAPLUS

CN 1H-1,2,4-Triazole-1-propanoic acid, α -(acetyloxy)- α -(2,4-
 dichlorophenyl)-, 2-propenyl ester (9CI) (CA INDEX NAME)



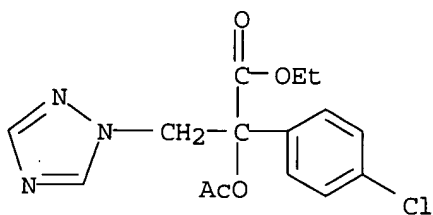
RN 85792-70-7 CAPLUS

CN 1H-1,2,4-Triazole-1-propanoic acid, α -(2,4-dichlorophenyl)- α -
 [(methoxyacetyl)oxy]-, ethyl ester (9CI) (CA INDEX NAME)



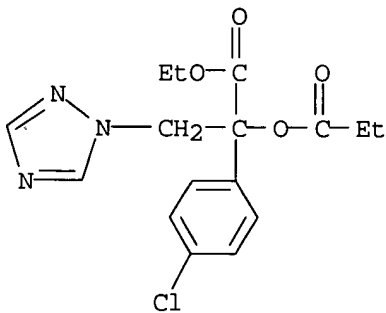
RN 85792-73-0 CAPLUS

CN 1H-1,2,4-Triazole-1-propanoic acid, α -(acetyloxy)- α -(4-chlorophenyl)-, ethyl ester (9CI) (CA INDEX NAME)



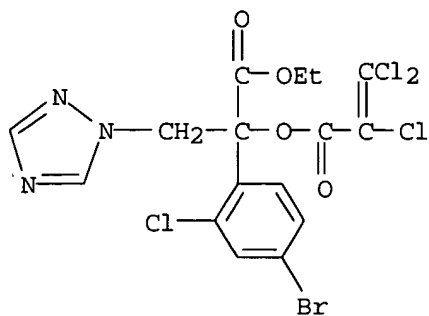
RN 85792-74-1 CAPLUS

CN 1H-1,2,4-Triazole-1-propanoic acid, α -(4-chlorophenyl)- α -(1-oxopropoxy)-, ethyl ester (9CI) (CA INDEX NAME)



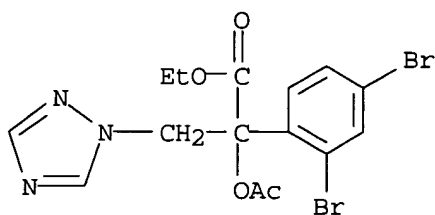
RN 85792-78-5 CAPLUS

CN 1H-1,2,4-Triazole-1-propanoic acid, α -(4-bromo-2-chlorophenyl)- α -[(2,3,3-trichloro-1-oxo-2-propenyl)oxy]-, ethyl ester (9CI) (CA INDEX NAME)



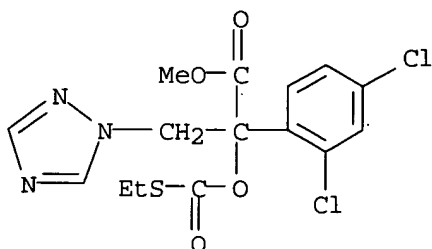
RN 85792-80-9 CAPLUS

CN 1H-1,2,4-Triazole-1-propanoic acid, α -(acetyloxy)- α -(2,4-dibromophenyl)-, ethyl ester (9CI) (CA INDEX NAME)



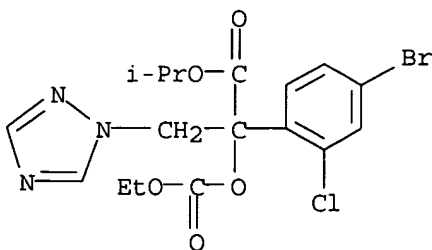
RN 85792-84-3 CAPLUS

CN 1H-1,2,4-Triazole-1-propanoic acid, α -(2,4-dichlorophenyl)- α -[[(ethylthio)carbonyloxy]-, methyl ester (9CI) (CA INDEX NAME)



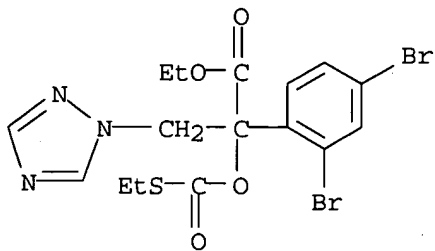
RN 85792-86-5 CAPLUS

CN 1H-1,2,4-Triazole-1-propanoic acid, α -(4-bromo-2-chlorophenyl)- α -[(ethoxycarbonyl)oxy]-, 1-methylethyl ester (9CI) (CA INDEX NAME)



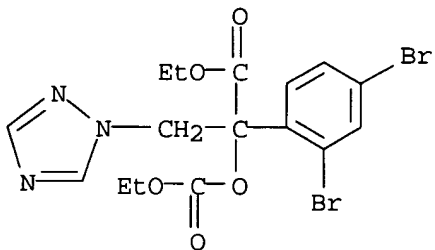
RN 85792-87-6 CAPLUS

CN 1H-1,2,4-Triazole-1-propanoic acid, α -(2,4-dibromophenyl)- α -
[[ethylthio]carbonyloxy]-, ethyl ester (9CI) (CA INDEX NAME)



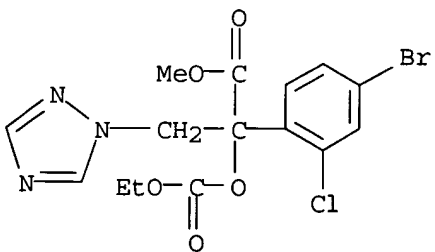
RN 85792-88-7 CAPLUS

CN 1H-1,2,4-Triazole-1-propanoic acid, α -(2,4-dibromophenyl)- α -
[(ethoxycarbonyl)oxy]-, ethyl ester (9CI) (CA INDEX NAME)



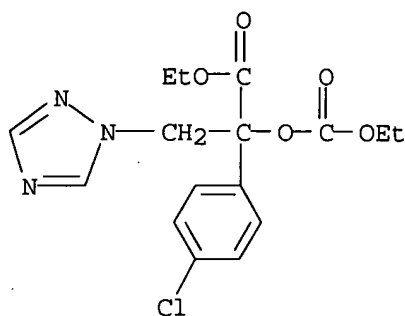
RN 85792-91-2 CAPLUS

CN 1H-1,2,4-Triazole-1-propanoic acid, α -(4-bromo-2-chlorophenyl)- α -
 α -[(ethoxycarbonyl)oxy]-, methyl ester (9CI) (CA INDEX NAME)



RN 85792-92-3 CAPLUS

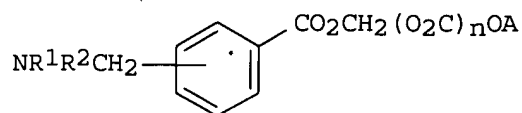
CN 1H-1,2,4-Triazole-1-propanoic acid, α -(4-chlorophenyl)- α -
[(ethoxycarbonyl)oxy]-, ethyl ester (9CI) (CA INDEX NAME)



=> d 19 12 ibib abs hitstr

L9 ANSWER 12 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1999:763866 CAPLUS <<LOGINID::20060927>>
 DOCUMENT NUMBER: 132:3366
 TITLE: Preparation of water-soluble prodrugs of triazole antifungal compounds
 INVENTOR(S): Hudyma, Thomas W.; Kim, Oak K.; Zheng, Xiaofan
 PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
 SOURCE: PCT Int. Appl., 65 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9961017	A1	19991202	WO 1999-US11378	19990521
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6265584	B1	20010724	US 1999-315606	19990520
AU 9940096	A1	19991213	AU 1999-40096	19990521
US 2001012843	A1	20010809	US 2001-789982	20010221
US 6359141	B2	20020319		
US 2001039353	A1	20011108	US 2001-789986	20010221
US 6486159	B2	20021126		
PRIORITY APPLN. INFO.:			US 1998-86435P	P 19980522
			US 1998-103560P	P 19981007
			US 1999-315606	A3 19990520
			WO 1999-US11378	W 19990521
OTHER SOURCE(S):			MARPAT 132:3366	
GI				



I

AB Water-soluble prodrugs of triazole antifungal compds. having a secondary or tertiary hydroxy group are provided. More particularly, new water-soluble triazole antifungal compds. are provided having general formula I [A = non-hydroxy portion of a triazole antifungal compound; n = 0, 1; R¹, R² = H, alkyl, alkenyl; R¹R²N = heterocyclic group]. E.g., (2R,3R)-3-[4-(4-cyanophenyl)thiazol-2-yl]-2-(2,4-difluorophenyl)-1-(1H-1,2,4-triazol-1-yl)-2-[[p-(diethylaminomethyl)benzoyloxy]methoxy]butane was prepared

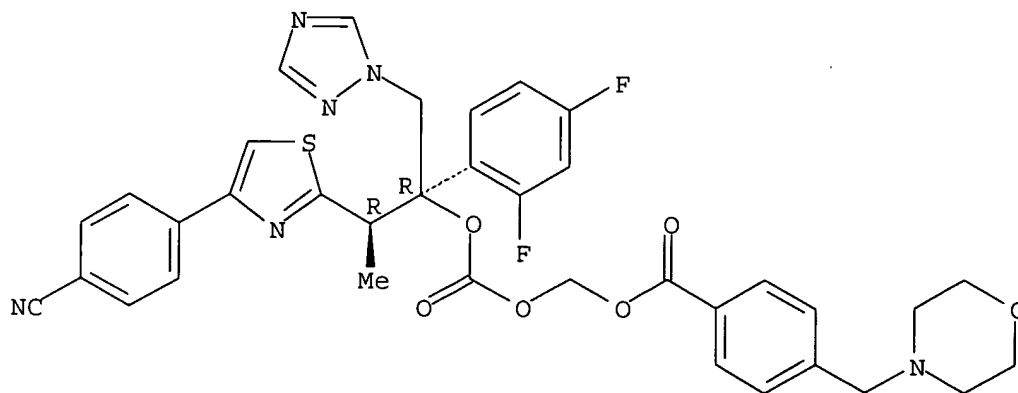
IT 251295-55-3P 251295-56-4P 251295-57-5P
 251295-58-6P 251295-59-7P 251295-60-0P
 251295-61-1P 251295-62-2P 251295-63-3P
 251295-64-4P 251295-65-5P 251295-66-6P
 251297-25-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of water-soluble prodrugs of triazole antifungal compds.)

RN 251295-55-3 CAPLUS

CN Benzoic acid, 4-[(diethylamino)methyl]-, [[[(1R,2R)-2-[4-(4-cyanophenyl)-2-thiazolyl]-1-(2,4-difluorophenyl)-1-(1H-1,2,4-triazol-1-yl)methyl]propoxy]carbonyl]oxy]methyl ester (9CI) (CA INDEX NAME)

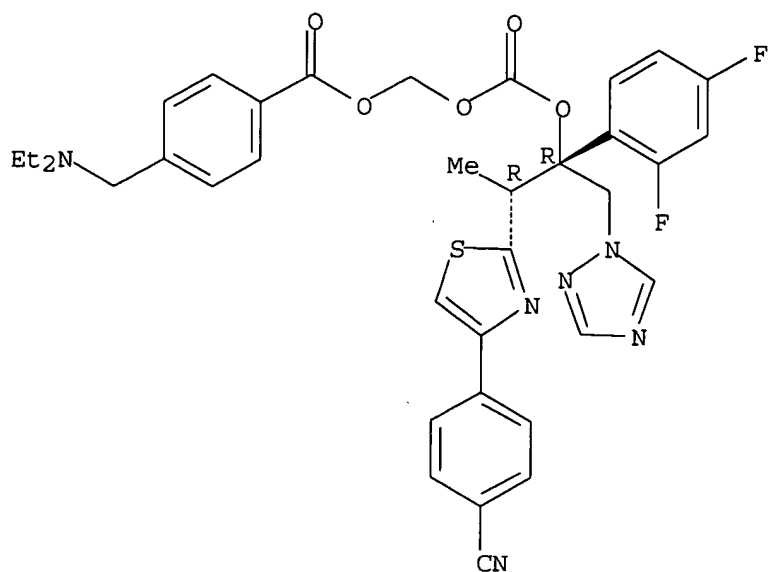
Absolute stereochemistry.



RN 251295-56-4 CAPLUS

CN Benzoic acid, 4-[(diethylamino)methyl]-, [[[(1R,2R)-2-[4-(4-cyanophenyl)-2-thiazolyl]-1-(2,4-difluorophenyl)-1-(1H-1,2,4-triazol-1-yl)methyl]propoxy]carbonyl]oxy]methyl ester (9CI) (CA INDEX NAME)

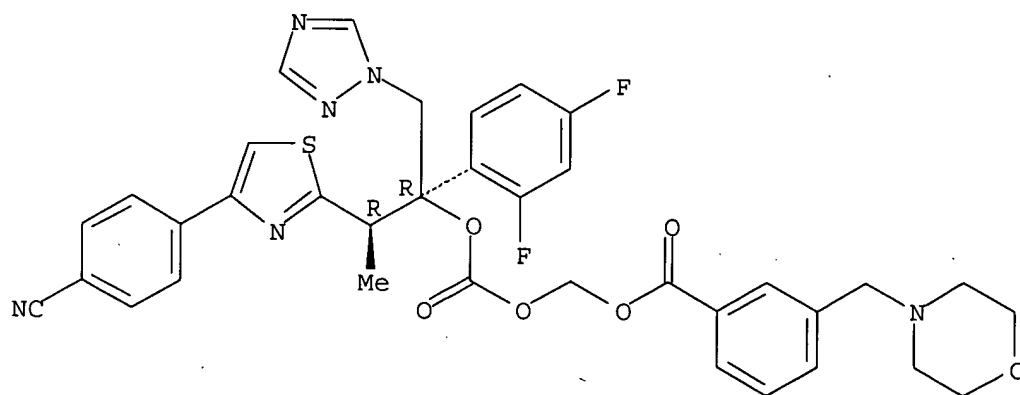
Absolute stereochemistry.



RN 251295-57-5 CAPLUS

CN Benzoic acid, 3-(4-morpholinylmethyl)-, [[[(1R,2R)-2-[4-(4-cyanophenyl)-2-thiazolyl]-1-(2,4-difluorophenyl)-1-(1H-1,2,4-triazol-1-ylmethyl)propoxy]carbonyloxy]methyl ester (9CI) (CA INDEX NAME)

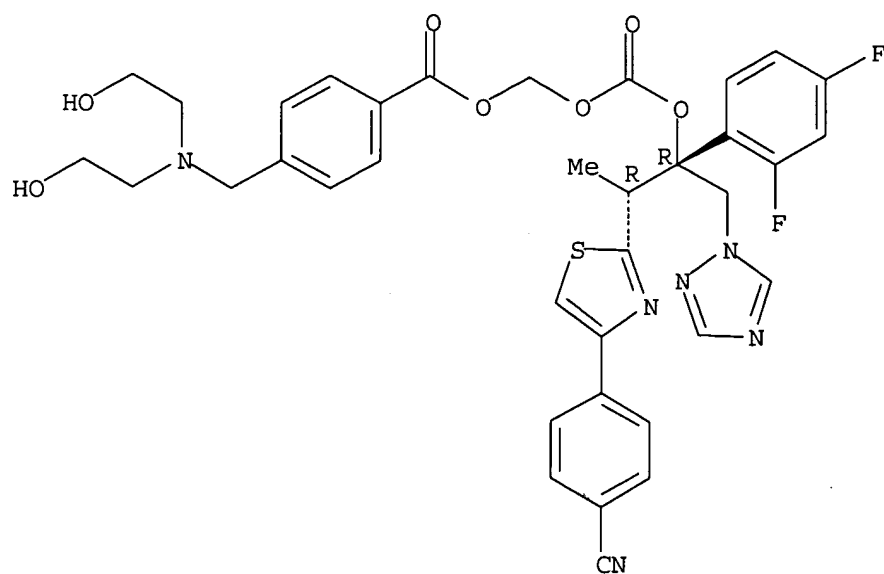
Absolute stereochemistry.



RN 251295-58-6 CAPLUS

CN Benzoic acid, 4-[[bis(2-hydroxyethyl)amino]methyl]-, [[[(1R,2R)-2-[4-(4-cyanophenyl)-2-thiazolyl]-1-(2,4-difluorophenyl)-1-(1H-1,2,4-triazol-1-ylmethyl)propoxy]carbonyloxy]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

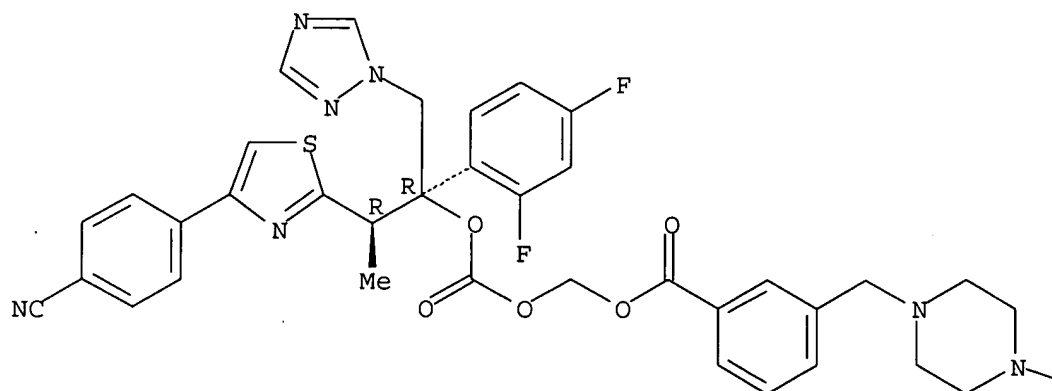


RN 251295-59-7 CAPLUS

CN Benzoic acid, 3-[(4-methyl-1-piperazinyl)methyl]-, [[[(1R,2R)-2-[4-(4-cyanophenyl)-2-thiazolyl]-1-(2,4-difluorophenyl)-1-(1H-1,2,4-triazol-1-ylmethyl)propoxy]carbonyl]oxy]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

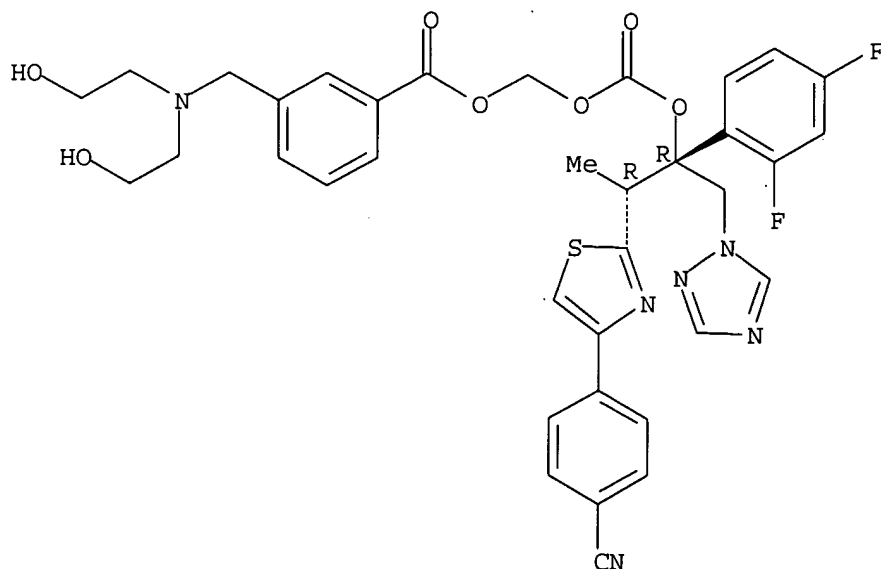


Me

RN 251295-60-0 CAPLUS

CN Benzoic acid, 3-[[bis(2-hydroxyethyl)amino]methyl]-, [[[(1R,2R)-2-[4-(4-cyanophenyl)-2-thiazolyl]-1-(2,4-difluorophenyl)-1-(1H-1,2,4-triazol-1-yl)methyl]propoxy]carbonyl]oxy]methyl ester (9CI) (CA INDEX NAME)

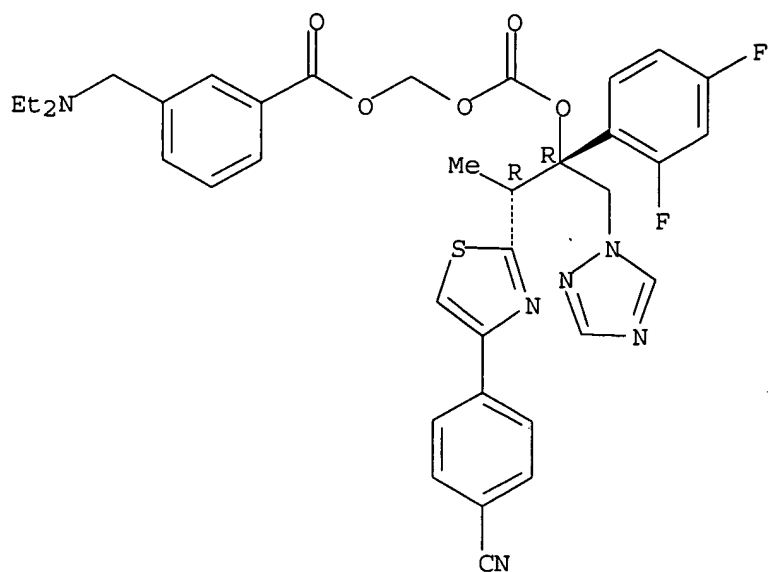
Absolute stereochemistry.



RN 251295-61-1 CAPLUS

CN Benzoic acid, 3-[(diethylamino)methyl]-, [[[(1R,2R)-2-[4-(4-cyanophenyl)-2-thiazolyl]-1-(2,4-difluorophenyl)-1-(1H-1,2,4-triazol-1-yl)methyl]propoxy]carbonyl]oxy]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

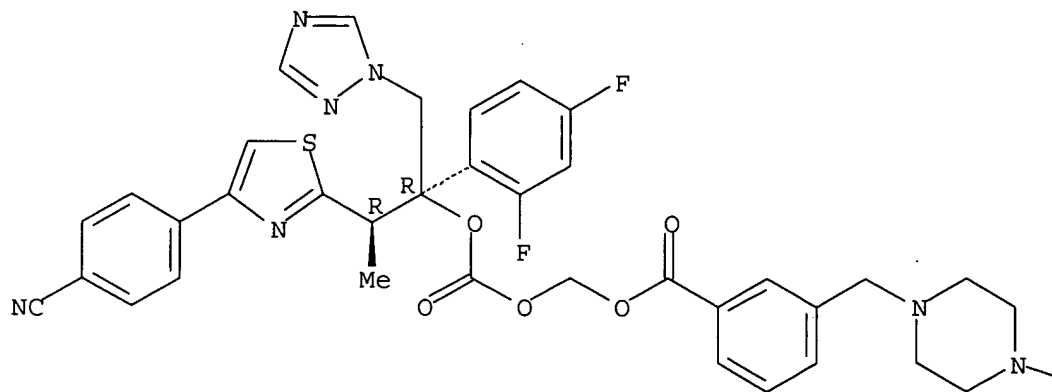


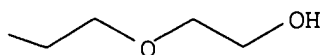
RN 251295-62-2 CAPLUS

CN Benzoic acid, 3-[[4-[2-(2-hydroxyethoxy)ethyl]-1-piperazinyl]methyl]-, [[[(1R,2R)-2-[4-(4-cyanophenyl)-2-thiazolyl]-1-(2,4-difluorophenyl)-1-(1H-1,2,4-triazol-1-ylmethyl)propoxy]carbonyl]oxy]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

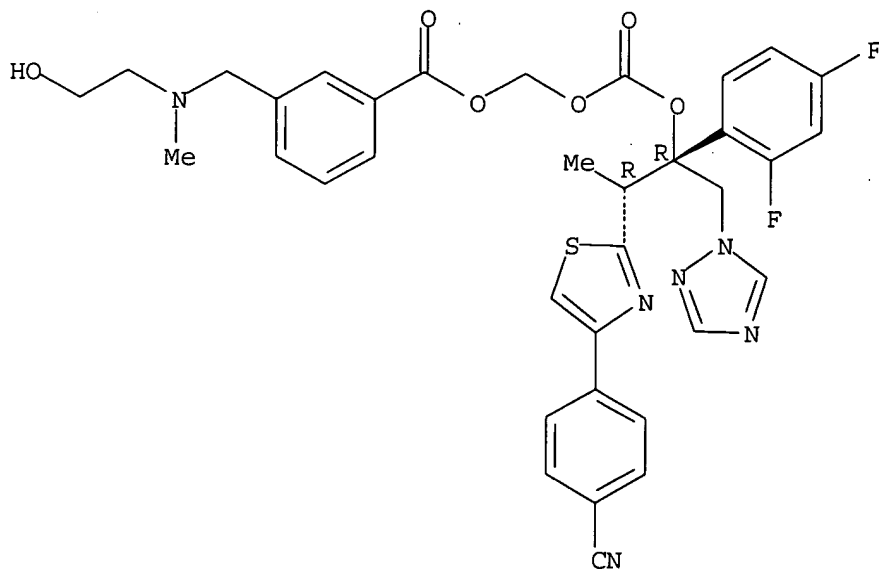




RN 251295-63-3 CAPLUS

CN Benzoic acid, 3-[[[(2-hydroxyethyl)methylamino]methyl]-, [[[(1R,2R)-2-[4-(4-cyanophenyl)-2-thiazolyl]-1-(2,4-difluorophenyl)-1-(1H-1,2,4-triazol-1-ylmethyl)propoxy]carbonyl]oxy]methyl ester (9CI) (CA INDEX NAME)

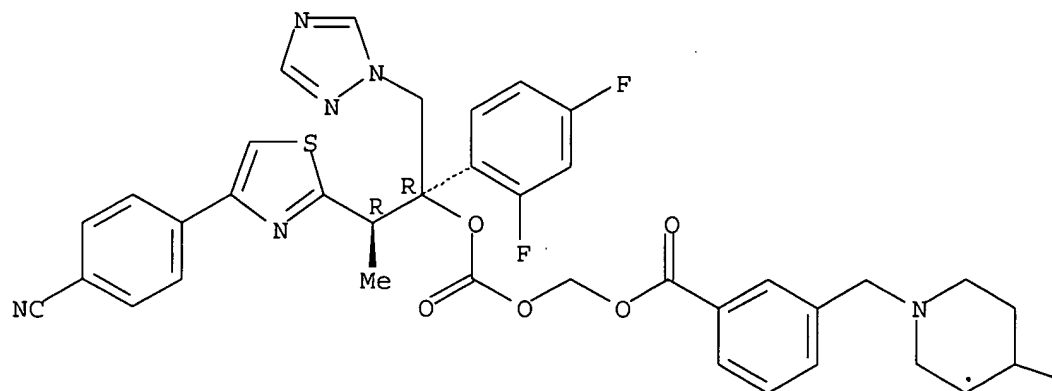
. Absolute stereochemistry.



RN 251295-64-4 CAPLUS

CN Benzoic acid, 3-[[[(4-hydroxy-1-piperidinyl)methyl]-, [[[(1R,2R)-2-[4-(4-cyanophenyl)-2-thiazolyl]-1-(2,4-difluorophenyl)-1-(1H-1,2,4-triazol-1-ylmethyl)propoxy]carbonyl]oxy]methyl ester (9CI) (CA INDEX NAME)

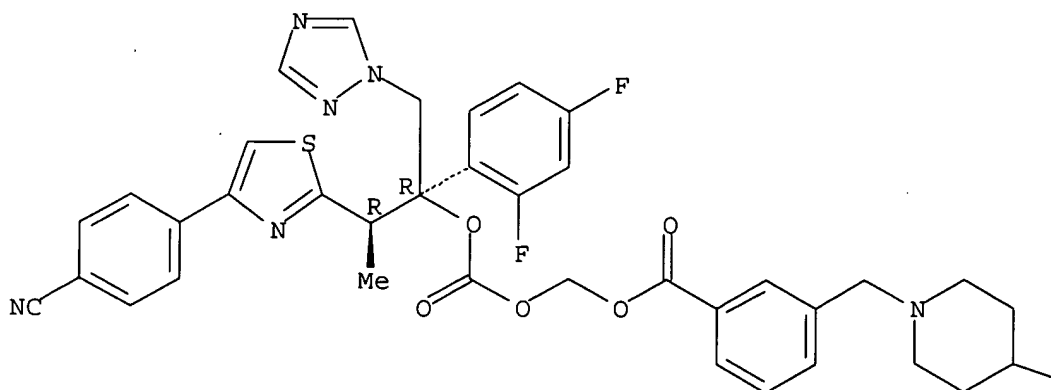
Absolute stereochemistry.

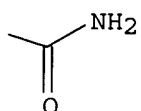


—OH

RN 251295-65-5 CAPLUS
 CN Benzoic acid, 3-[[4-(aminocarbonyl)-1-piperidinyl]methyl]-,
 [[[(1R,2R)-2-[4-(4-cyanophenyl)-2-thiazolyl]-1-(2,4-difluorophenyl)-1-(1H-
 1,2,4-triazol-1-ylmethyl)propoxy]carbonyl]oxy]methyl ester (9CI) (CA
 INDEX NAME)

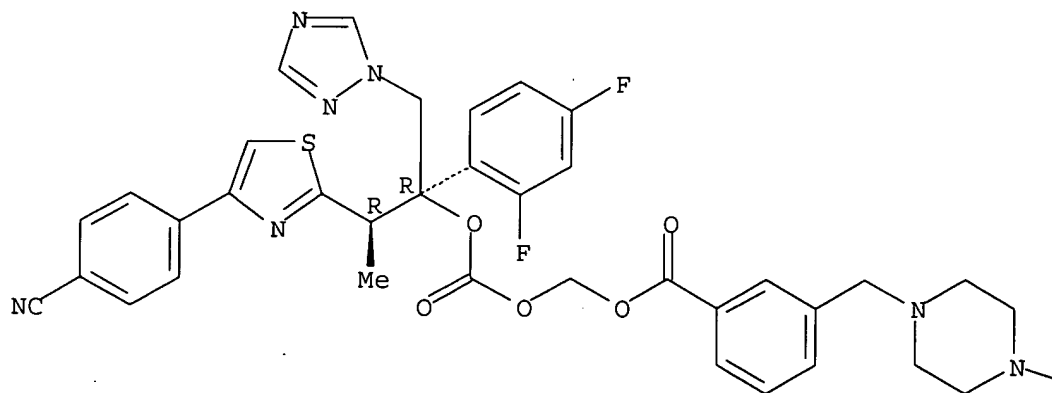
Absolute stereochemistry.

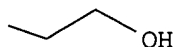




RN 251295-66-6 CAPLUS
 CN Benzoic acid, 3-[[4-(2-hydroxyethyl)-1-piperazinyl]methyl]-, [[[(1R,2R)-2-[4-(4-cyanophenyl)-2-thiazolyl]-1-(2,4-difluorophenyl)-1-(1H-1,2,4-triazol-1-ylmethyl)propoxy]carbonyl]oxy]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



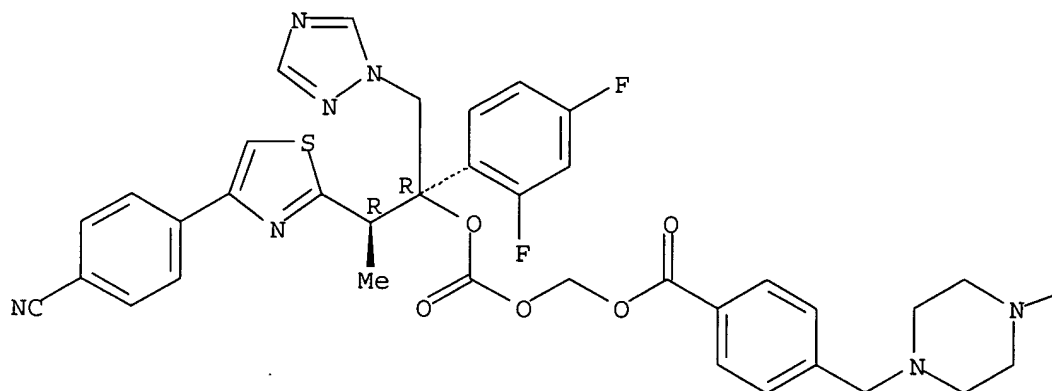


RN 251297-25-3 CAPLUS

CN Benzoic acid, 4-[(4-methyl-1-piperazinyl)methyl]-, [[[(1R,2R)-2-[4-(4-cyanophenyl)-2-thiazolyl]-1-(2,4-difluorophenyl)-1-(1H-1,2,4-triazol-1-ylmethyl)propoxy]carbonyl]oxy]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



REFERENCE COUNT:

2

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=>

---Logging off of STN---

=>